09/ 910,466

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 42	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 43	Jun 06	PASCAL enhanced with additional data

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 16:45:19 ON 17 JUN 2003

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.21       0.21

FILE 'REGISTRY' ENTERED AT 16:45:27 ON 17 JUN 2003
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STRUCTURE FILE UPDATES:   16 JUN 2003  HIGHEST RN 532194-47-1
DICTIONARY FILE UPDATES:  16 JUN 2003  HIGHEST RN 532194-47-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Uploading 09910466.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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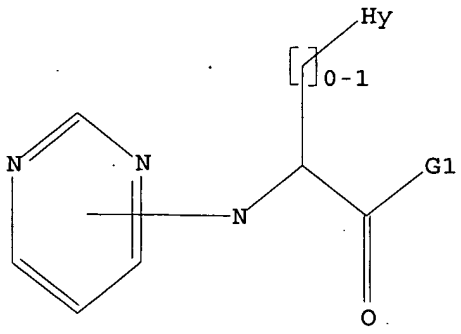

G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 16:45:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 107056 TO ITERATE

100.0% PROCESSED 107056 ITERATIONS 43 ANSWERS
SEARCH TIME: 00.00.03

L2 43 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 16:46:02 ON 17 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 17 Jun 2003 VOL 138 ISS 25
FILE LAST UPDATED: 16 Jun 2003 (20030616/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 21 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

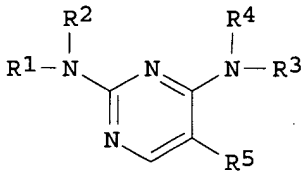
09/ 910,466

L3 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:319721 CAPLUS
DOCUMENT NUMBER: 138:321292
TITLE: Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase inhibitors
INVENTOR(S): Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032997	A1	20030424	WO 2002-EP11453	20021014

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-330145P P 20011017
GI



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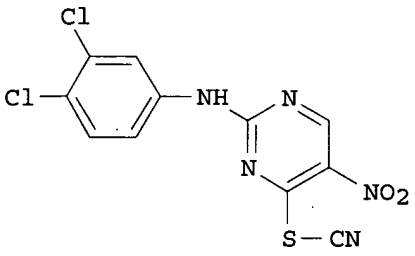
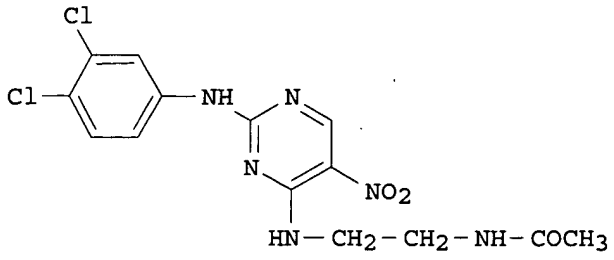


II



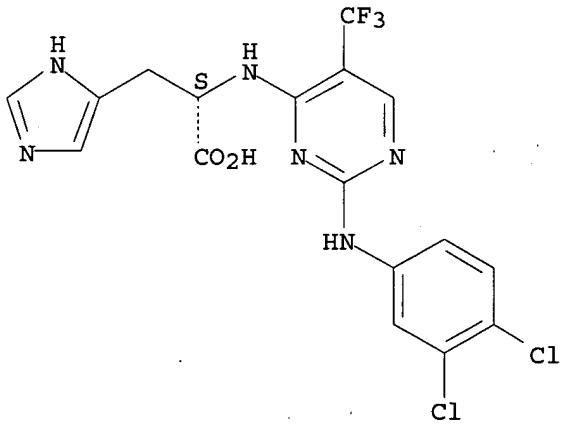
III

AB Title compds. I [R1 = H, alkyl; R2 = (un)substitute alkyl; R3 = H, alkyl; R4 = (un)substitute alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepd. For example, condensation of thiocyanatopyrimide II, e.g., prepd. from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation.

IT **514831-25-5P**, 2-(3,4-Dichlorophenylamino)-4-[((1S)-1-carboxy-2-(1H-imidazol-4-yl)ethyl)amino]-5-trifluoromethylpyrimidine
514832-15-6P, 2-(3,4-Dichlorophenylamino)-4-[((1R)-1-carboxy-2-(1H-imidazol-4-yl)ethyl)amino]-5-trifluoromethylpyrimidine
514832-70-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

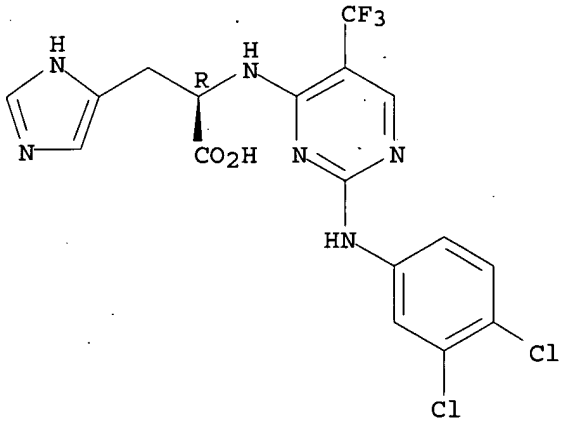
RN 514831-25-5 CAPLUS
CN L-Histidine, N-[2-[(3,4-dichlorophenyl)amino]-5-(trifluoromethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



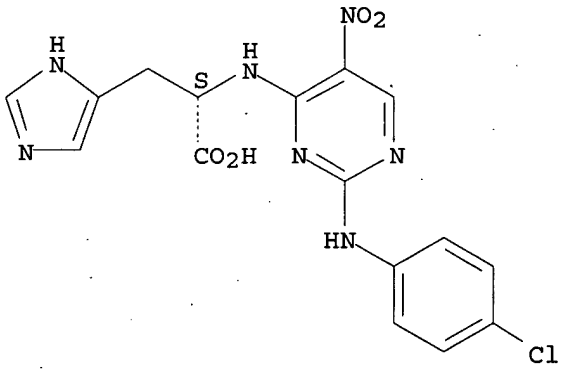
RN 514832-15-6 CAPLUS
CN D-Histidine, N-[2-[(3,4-dichlorophenyl)amino]-5-(trifluoromethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 514832-70-3 CAPLUS
CN L-Histidine, N-[2-[(4-chlorophenyl)amino]-5-nitro-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:869567 CAPLUS
DOCUMENT NUMBER: 137:370356
TITLE: Preparation and use of bombesin receptor antagonists for treatment of sexual dysfunction in males and females
INVENTOR(S): Gonzalez, Maria Isabel; Higginbottom, Michael; Stock, Herman Thijs; Pritchard, Martyn Clive; Pinnock, Robert Denham; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Wayman, Christopher Peter
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S. Pat. Appl. 2002 58,606.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

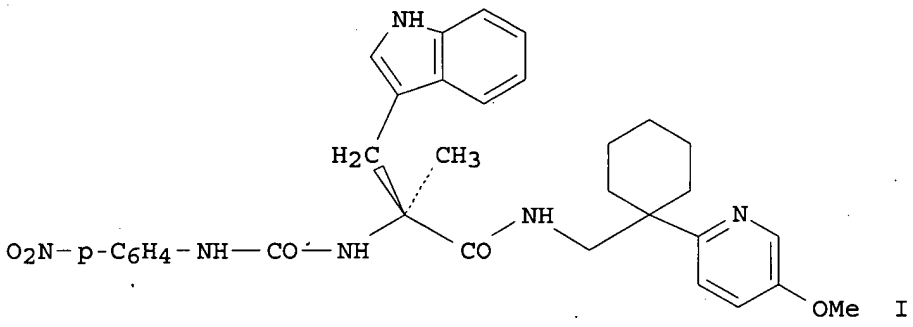
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

09/ 910,466

US 2002169101	A1	20021114	US 2001-999284		20011115
US 2002058606	A1	20020516	US 2001-759777		20010112
PRIORITY APPLN. INFO.:			US 1999-133355P	P	19990510
			WO 2000-GB1787	W	20000510
			US 2000-700165	A2	20001109
			US 2001-759777	A2	20010112
			GB 2001-9910	A	20010423
			GB 2001-11037	A	20010504

OTHER SOURCE(S): MARPAT 137:370356

GI



I

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example PDE5 inhibitors, NEP inhibitors and lasofoxifene. Prepn. of bombesin receptor antagonists consisting of .alpha.-Me tryptophane (e.g., I) or .alpha.-methylphenylalanine derivs. was given. In tests on sexually-dysfunctional male rats, it was concluded that I had a stimulatory effect, at the level of sexual desire, performance, and anorgasmy. In tests on sexually-dysfunctional female rats, it was concluded that I had a stimulatory effect on proceptivity, which was unaffected by repeated administration.

IT **425641-42-5P**

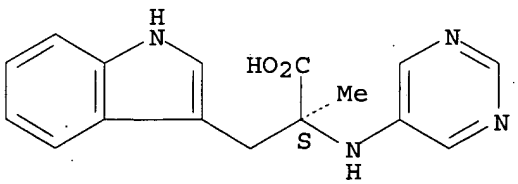
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of in the prepn. of bombesin receptor antagonists for treatment of sexual dysfunction)

RN 425641-42-5 CAPLUS

CN L-Tryptophan, .alpha.-methyl-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **425639-13-0P**

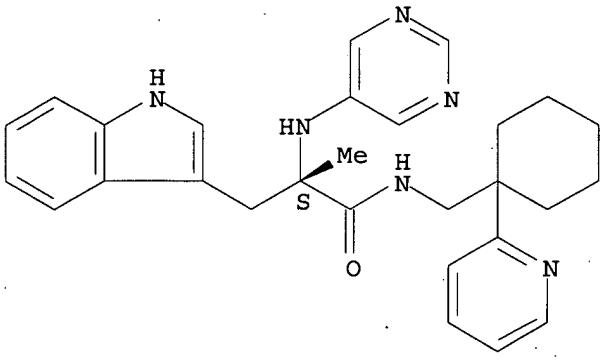
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of as bombesin receptor antagonists for treatment of sexual dysfunction)

09/ 910,466

RN 425639-13-0 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-.alpha.-(5-pyrimidinylamino)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:610405 CAPLUS
DOCUMENT NUMBER: 137:169534
TITLE: Preparation of imidazolyl pyrimidinamines as NOS inhibitors
INVENTOR(S): Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Michael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.
SOURCE: U.S., 132 pp., Cont.-in-part of U.S. Ser. No. 25,124, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432947	B1	20020813	US 1999-383813	19990826
CN 1100777	B	20030205	CN 1998-804281	19980219
WO 2001014371	A1	20010301	WO 2000-US23173	20000824
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014144	A	20020521	BR 2000-14144	20000824
EP 1206467	A1	20020522	EP 2000-959333	20000824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
SI 20818	C	20020831	SI 2000-20040	20000824
EE 200200091	A	20030415	EE 2002-91	20000824

NO 2002000925	A	20020416	NO 2002-925	20020226
BG 106440	A	20021129	BG 2002-106440	20020226
LT 4982	B	20030127	LT 2002-28	20020315
US 2002165203	A1	20021107	US 2002-121886	20020412
US 2002183323	A1	20021205	US 2002-121659	20020412
US 2003004137	A1	20030102	US 2002-121379	20020412
US 2003027794	A1	20030206	US 2002-121758	20020412
US 2003060452	A1	20030327	US 2002-121212	20020412
US 2003069210	A1	20030410	US 2002-122072	20020412
US 2003073669	A1	20030417	US 2002-121682	20020412
US 2003078265	A1	20030424	US 2002-121808	20020412
US 2003083332	A1	20030501	US 2002-122047	20020412
US 2003092678	A1	20030515	US 2002-122006	20020412

PRIORITY APPLN. INFO.:

US 1997-808975	B2	19970219
US 1998-25124	B2	19980217
WO 1998-US3176	A	19980219
US 1999-383813	A1	19990826
WO 2000-US23173	W	20000824

OTHER SOURCE(S): MARPAT 137:169534

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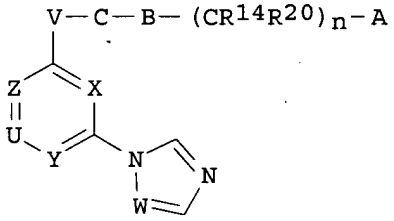


I

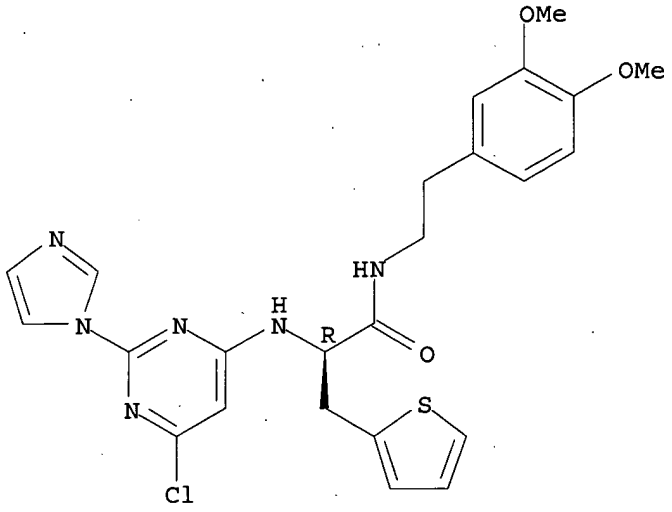
AB The title compds. [I; U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or NR1R2 = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); C = (CHR12)q(CHR13)r (q, r = 0-1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3], useful as inhibitors of nitric oxide synthase, were prepd. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, Et 7-chloro-3-oxoheptanoate, and piperonylamine. All exemplified compds. I showed iNOS inhibitory activity at concns. less than 25 .mu.M.

IT **212635-48-8P 212635-51-3P 212635-52-4P**
447443-98-3P 447444-13-5P 447444-26-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazolyl pyrimidinamines as NOS inhibitors)

RN 212635-48-8 CAPLUS

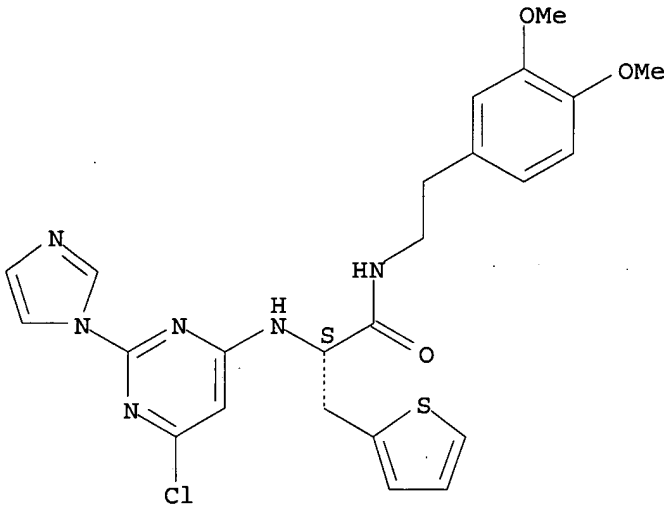
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



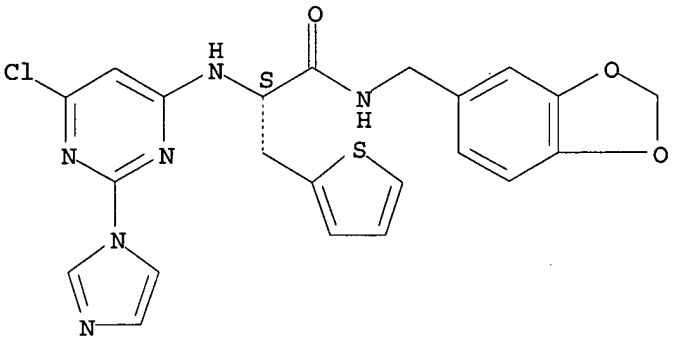
RN 212635-51-3 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



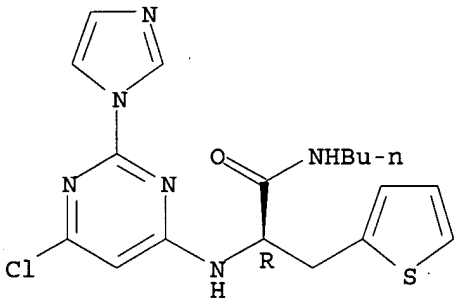
RN 212635-52-4 CAPLUS
CN 2-Thiophenepropanamide, N-(1,3-benzodioxol-5-ylmethyl)-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



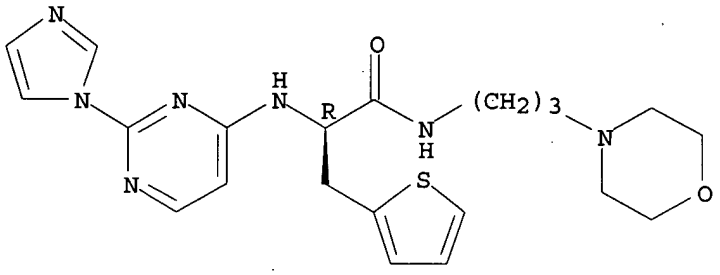
RN 447443-98-3 CAPLUS
CN 2-Thiophenepropanamide, N-butyl-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



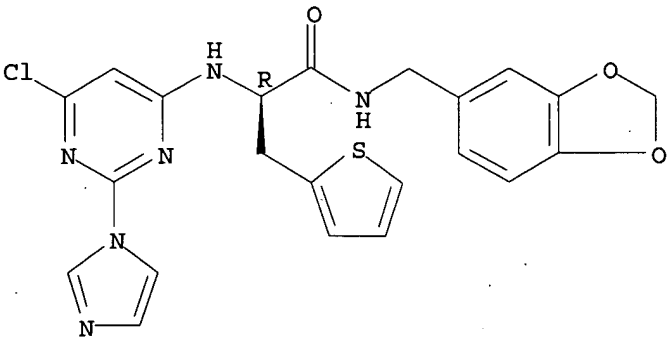
RN 447444-13-5 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[3-(4-morpholinyl)propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 447444-26-0 CAPLUS
CN 2-Thiophenepropanamide, N-(1,3-benzodioxol-5-ylmethyl)-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:391709 CAPLUS
DOCUMENT NUMBER: 136:386398
TITLE: Preparation of bombesin receptor antagonists
INVENTOR(S): Higginbottom, Michael; Pritchard, Martyn Clive; Stock, Herman Thijs
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040475	A1	20020523	WO 2001-EP14402	20011116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
GB 2369118	A1	20020522	GB 2000-28146	20001117
AU 2002017095	A5	20020527	AU 2002-17095	20011116

PRIORITY APPLN. INFO.: GB 2000-28146 A 20001117
WO 2001-EP14402 W 20011116
OTHER SOURCE(S): MARPAT 136:386398

AB Bombesin receptor antagonists (Ar)r-(CH2)j-(X)q-(CH2)kNR3CR5(CH2Ar1)CONR4(CH2)l(CR1R6)m(CH2)nR2 [j, n = 0-2; k, m, q, r = 0 or 1; l = 0-3 (when r = 0, Ar is replaced by H); Ar = (un)substituted Ph, pyridyl, pyrimidyl, thienyl, furyl, imidazolyl, pyrrolyl or thiazolyl; Ar1 = any group for Ar or indolyl or pyridyl N-oxide; R1 = H, alkyl, (oxa, aza)cycloalkyl; R6 = H, Me or together with R6 forms a carbonyl group or a ring which can contain an oxygen or nitrogen atom; R3-R5 = H, alkyl; R2 = H, OH, alkoxy, NMe2, carbamoyl or certain ring structures; X is a divalent radical derived from isoxazole, pyridine, pyridazine, pyrimidine, etc.] or their pharmaceutically acceptable salts were prepd. The compds. of the invention have an affinity for the BB1 receptor and some of them also have affinity for the BB2 receptor. Accordingly they may be useful for the diagnosis, prevention, or treatment of male and female sexual dysfunction. Thus, (S)-3-(1H-indol-3-yl)-N-[1-(5-methoxypyridin-2-yl)cyclohexylmethyl]-

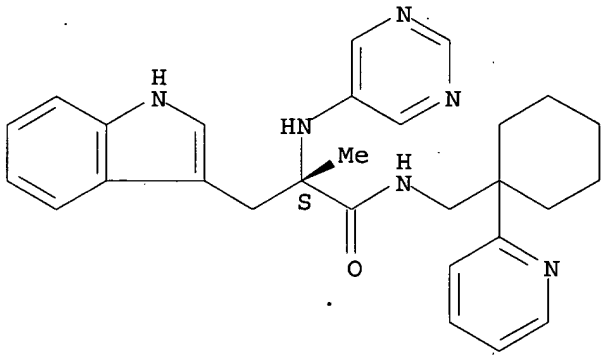
2-methyl-2-[4-(4-nitrophenyl)oxazol-2-ylamino]propionamide (1) was prepd. via amidation reaction and showed Ki = 4 or 24 nM in the BB1 and BB2 binding assay, resp. Compd. 1 was also assayed for female rat sexual proceptivity.

IT **425639-13-0P**
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bombesin receptor antagonists)

RN 425639-13-0 CAPLUS

CN 1H-Indole-3-propanamide, .alpha.-methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-.alpha.-(5-pyrimidinylamino)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

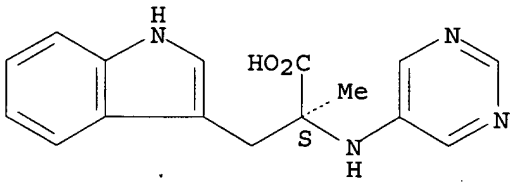


IT **425641-42-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bombesin receptor antagonists)

RN 425641-42-5 CAPLUS

CN L-Tryptophan, .alpha.-methyl-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:391535 CAPLUS

DOCUMENT NUMBER: 136:380143

TITLE: Treatment of sexual dysfunction using bombesin antagonist

INVENTOR(S): Gonzalez, Maria Isabel; Higginbottom, Michael; Pinnock, Robert Denham; Pritchard, Martyn Clive; Stock, Herman Thijs

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2

09/ 910,466

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040022	A1	20020523	WO 2000-GB4380	20001117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014046	A5	20020527	AU 2001-14046	20001117
WO 2002040008	A2	20020523	WO 2001-GB5018	20011114
WO 2002040008	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023802	A5	20020527	AU 2002-23802	20011114

PRIORITY APPLN. INFO.:

WO 2000-GB4380	A	20001117
GB 2001-9910	A	20010423
GB 2001-11037	A	20010504
WO 2001-GB5018	W	20011114

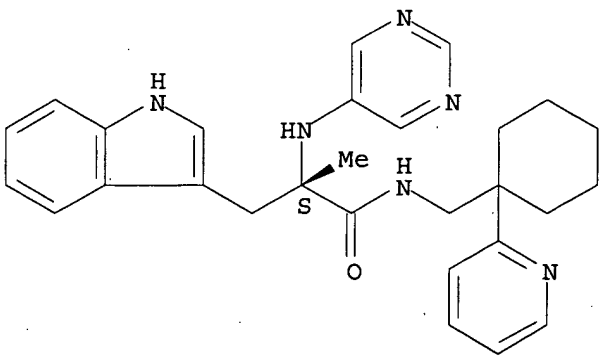
AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. Prepn. of compds. of the invention is included.

IT **425639-13-0P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bombesin antagonists for treatment of sexual dysfunction)

RN 425639-13-0 CAPLUS

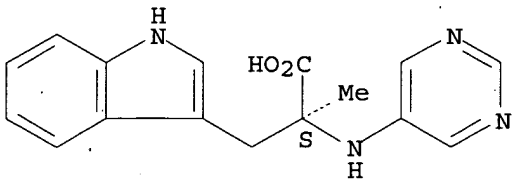
CN 1H-Indole-3-propanamide, .alpha.-methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-.alpha.-(5-pyrimidinylamino)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **425641-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; bombesin antagonists for treatment of sexual dysfunction)
RN 425641-42-5 CAPLUS
CN L-Tryptophan, .alpha.-methyl-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:391522 CAPLUS
DOCUMENT NUMBER: 136:395983
TITLE: Bombesin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction
INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040008	A2	20020523	WO 2001-GB5018	20011114
WO 2002040008	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002040022	A1	20020523	WO 2000-GB4380	20001117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2002023802	A5	20020527	AU 2002-23802	20011114

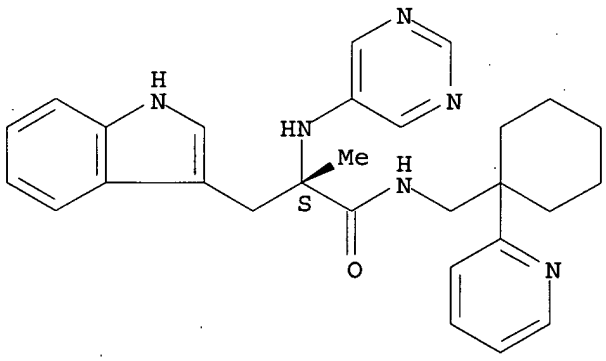
PRIORITY APPLN. INFO.: WO 2000-GB4380 W 20001117

GB 2001-9910 A 20010423
GB 2001-11037 A 20010504
WO 2001-GB5018 W 20011114
OTHER SOURCE(S): MARPAT 136:395983

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase inhibitors, and lasofoxifene. Prepn. of compds. of the invention is described.

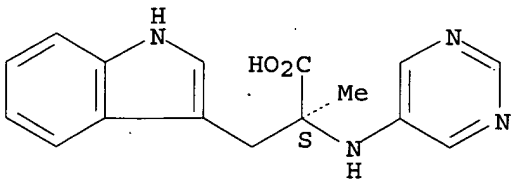
IT **425639-13-0P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
RN 425639-13-0 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-.alpha.-(5-pyrimidinylamino)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **425641-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
RN 425641-42-5 CAPLUS
CN L-Tryptophan, .alpha.-methyl-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:368981 CAPLUS
DOCUMENT NUMBER: 136:380137
TITLE: Bombesin receptor antagonists, and preparation thereof, for the treatment of sexual dysfunction

09/ 910,466

INVENTOR(S): Gonzalez, Maria Isabel; Pinnock, Robert Denham; Pritchard, Martyn Clive
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 72 pp., Cont.-in-part of U. S. Ser. No. 700,165.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002058606	A1	20020516	US 2001-759777	20010112
US 2002169101	A1	20021114	US 2001-999284	20011115

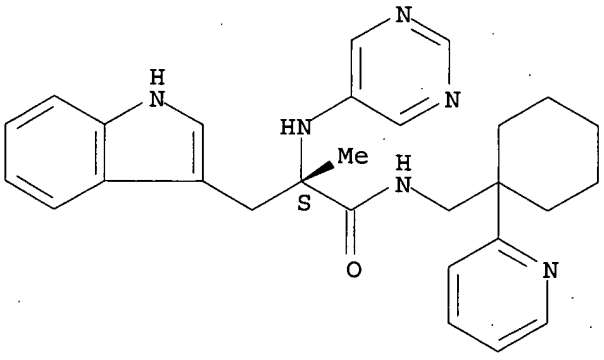
PRIORITY APPLN. INFO.:

US 1999-133355P	P	19990510
WO 2000-GB1787	W	20000510
US 2000-700165	A2	20001109
US 2001-759777	A2	20010112
GB 2001-9910	A	20010423
GB 2001-11037	A	20010504

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females.

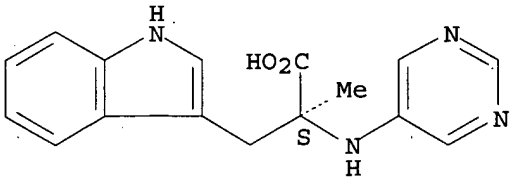
IT **425639-13-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bombesin receptor antagonists, prepn., and use for sexual dysfunction treatment, alone or with other agents)
RN 425639-13-0 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-.alpha.-(5-pyrimidinylamino)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **425641-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; bombesin receptor antagonists, prepn., and use for sexual dysfunction treatment, alone or with other agents)
RN 425641-42-5 CAPLUS
CN L-Tryptophan, .alpha.-methyl-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:90023 CAPLUS
DOCUMENT NUMBER: 136:135018
TITLE: Preparation of 3-(heteroaryl) alanine derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi; Stappenbeck, Frank
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

S. I. E.
Applicant's PCT

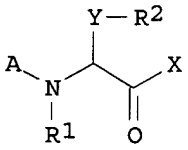
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008203	A2	20020131	WO 2001-US23097	20010720
WO 2002008203	A3	20020523		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002052375	A1	20020502	US 2001-910466	20010719

PRIORITY APPLN. INFO.: US 2000-220131P P 20000721
OTHER SOURCE(S): MARPAT 136:135018
GI

Pregrant version



I

AB 3-(Heteroaryl)alanine derivs. I [A = an (un)substituted aryl, heteroaryl, cycloalkyl, or heterocyclic group; R2 = a nitrogen contg. (un)substituted, heteroaryl; Y = (CH2)m; m = 0 or 1; R1 = H, (un)substituted, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclic; X = OH, (un)substituted alkoxy, alkenoxy, cycloalkoxy, cycloalkenoxy, aryloxy, heteroaryloxy, heterocyclyloxy, or NR3R3 [R3 = H, (un)substituted alkyl,

alkenyl, cycloalkyl, aryl, heteroaryl, or heterocyclic]] were prepd. as inhibitors of leukocyte adhesion mediated by VLA-4. Compds. I have binding affinity to VLA-4 as expressed by an IC50 of about 15 .mu.M or less. Thus, N-[5-(2,2,2-trifluoroethyl)pyrimidin-4-yl]-DL-3-[5-(2,5-dimethoxyphenyl)pyridin-2-yl]alanine was prepd. by multistep procedure via coupling of DL-[5-(2,6-dimethoxyphenyl)pyridine-2-yl]alanine Et ester and 4,6-dichloro-5-(2,2,2-trifluoroethyl)pyrimidine.

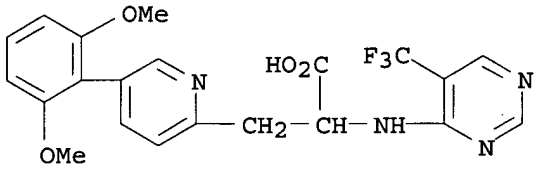
IT **392298-39-4P 392298-40-7P 392298-42-9P 392298-43-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of alanine derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

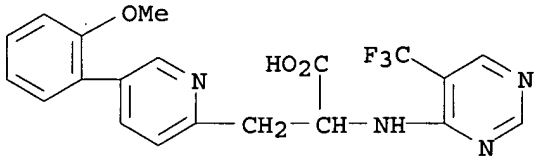
RN 392298-39-4 CAPLUS

CN 2-Pyridinepropanoic acid, 5-(2,6-dimethoxyphenyl)-.alpha.-[[5-(trifluoromethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



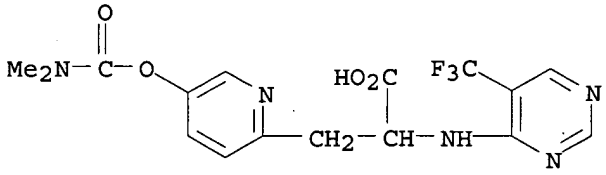
RN 392298-40-7 CAPLUS

CN 2-Pyridinepropanoic acid, 5-(2-methoxyphenyl)-.alpha.-[[5-(trifluoromethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



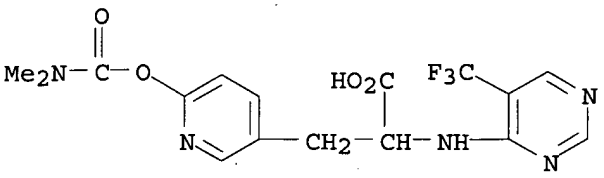
RN 392298-42-9 CAPLUS

CN 2-Pyridinepropanoic acid, 5-[[(dimethylamino)carbonyl]oxy]-.alpha.-[[5-(trifluoromethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 392298-43-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[[(dimethylamino)carbonyl]oxy]-.alpha.-[[5-(trifluoromethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

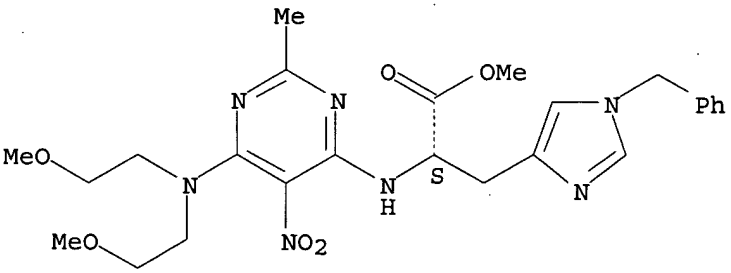


L3 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:211943 CAPLUS
DOCUMENT NUMBER: 135:33455
TITLE: Unsymmetrical 4,6-diamino-2-methyl-5-nitropyrimidine synthesis via 4,6-bis(tosylates)
AUTHOR(S): Cain, Gary A.; Beck, James P.
CORPORATE SOURCE: Chemical and Physical Sciences Department, DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0336, USA
SOURCE: Heterocycles (2001), 55(3), 439-446
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:33455

AB Chlorides have traditionally been used as leaving groups for the introduction of 4- and 6-heteroat. substituents onto pyrimidines. Use of 4,6-dichloro-2-methyl-5-nitropyrimidine allows the sequential introduction of different 4- and 6-heteroat. substituents onto this core. However, this reagent is highly hazardous to handle. The analogous 4,6-bis(tosylate) offers a less hazardous substance which undergoes the same nucleophilic arom. substitution chem. as the dichloride, including sequential introduction of different nucleophiles.

IT **343582-00-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of unsym. methylnitropyrimidinediamines via bis(tosylates))
RN 343582-00-3 CAPLUS
CN L-Histidine, N-[6-[bis(2-methoxyethyl)amino]-2-methyl-5-nitro-4-pyrimidinyl]-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:63992 CAPLUS
DOCUMENT NUMBER: 134:116237
TITLE: Preparation of bradykinin B1 receptor antagonists
INVENTOR(S): Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III; Paradkar, Vidyadhar; Quintero, Jorge

09/ 910,466

Gabriel; Pan, Gonghua
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 231 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005783	A1	20010125	WO 2000-US19185	20000714
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1196411	A1	20020417	EP 2000-950343	20000714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003505384	T2	20030212	JP 2001-511442	20000714

PRIORITY APPLN. INFO.: US 1999-143990P P 19990715
WO 2000-US19185 W 20000714

OTHER SOURCE(S): MARPAT 134:116237
GI

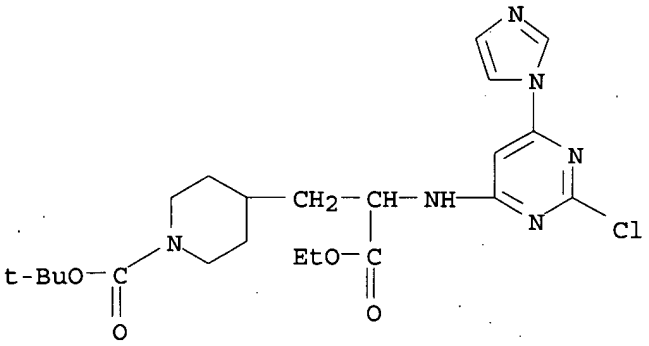
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I [X, Y, Z = CH or N; A = A1 or A2, where A1 is R4R5NCO (R4 = H, aryl, heteroaryl, substituted alkyl; R5 = H, alkyl), 5-aryl-1,2,4-triazol-3-yl, 2-aryl-4-imidazolyl, or 2-aryl-5-thiazolyl and A2 is R7CONH (R7 = aryl or alkylaryl), R7SO2NH, R4NH, R4O; Q = heteroaryl, aryl, CH2R13 (R13 = OH, OTHP, 1-imidazolyl, 1-pyrrolyl), CH:NOMe, or 1,3-dithian-2-yl; W = H, Cl, F, alkyl, aryl, heteroaryl, alkoxy, alkylthio, an amino group, arylcarbamoyl, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H or alkyl or R1R2C is a ring optionally contg. O, S or N; R3 = H or alkyl, or when n is zero, R2 and R3 taken together form a 6-membered ring (with provisos)] were prepd. as bradykinin B1 receptor antagonists. Thus, D-leucine deriv. II was prepd. by substitution reaction of D-leucine 4-chlorobenzylamide with 2,4-dichloro-(or difluoro)-6-(1H-imidazol-1-yl)pyrimidine and then 3-chlorobenzylamine. Pharmaceutical formulations contg. II are described.

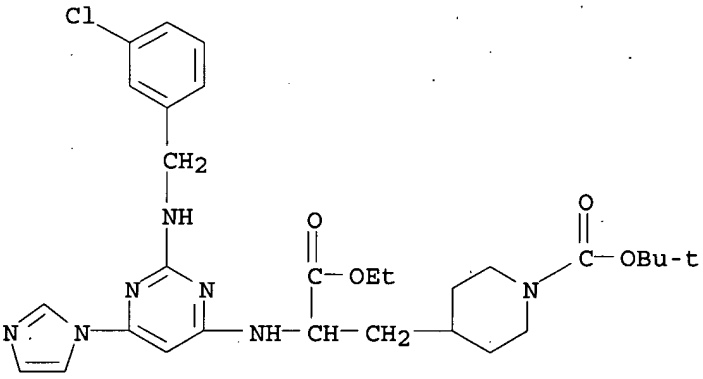
IT **321328-51-2P 321328-53-4P 321328-55-6P**
321328-57-8P 321328-65-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bradykinin B1 receptor antagonists)

RN 321328-51-2 CAPLUS

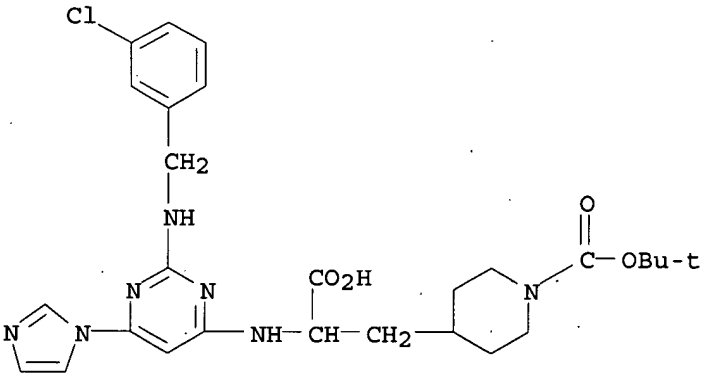
CN 4-Piperidinepropanoic acid, .alpha.-[[2-chloro-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



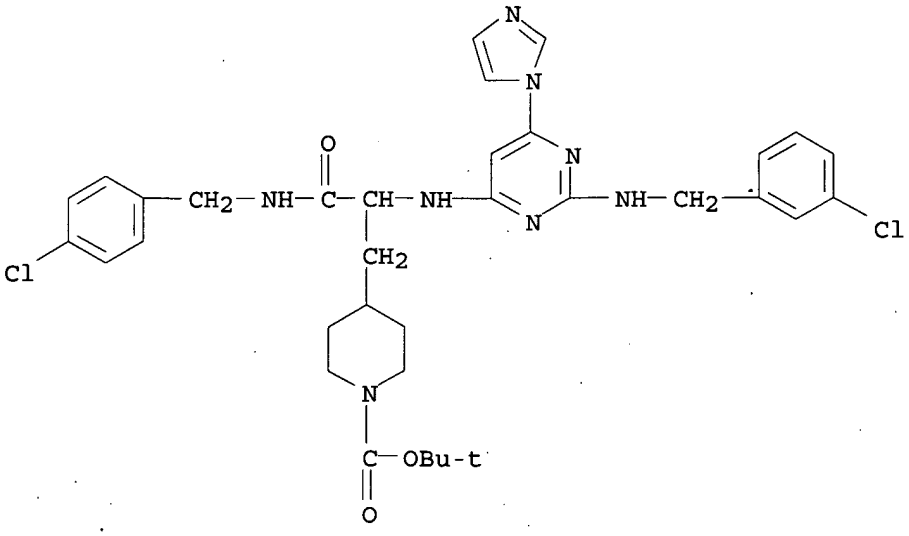
RN 321328-53-4 CAPLUS
CN 4-Piperidinepropanoic acid, .alpha.-[[2-[[(3-chlorophenyl)methyl]amino]-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



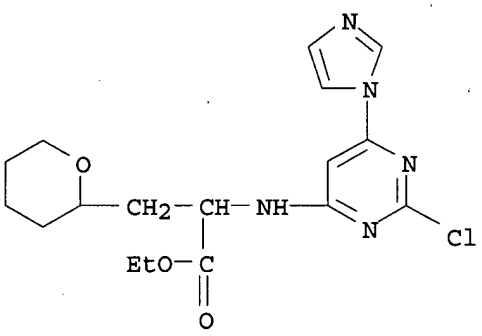
RN 321328-55-6 CAPLUS
CN 4-Piperidinepropanoic acid, .alpha.-[[2-[[(3-chlorophenyl)methyl]amino]-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



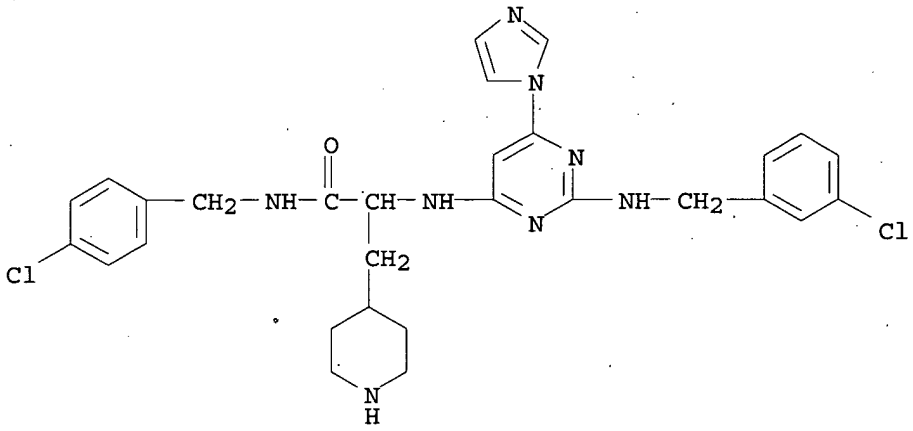
RN 321328-57-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[(4-chlorophenyl)methyl]amino]-2-[[2-[[(3-chlorophenyl)methyl]amino]-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



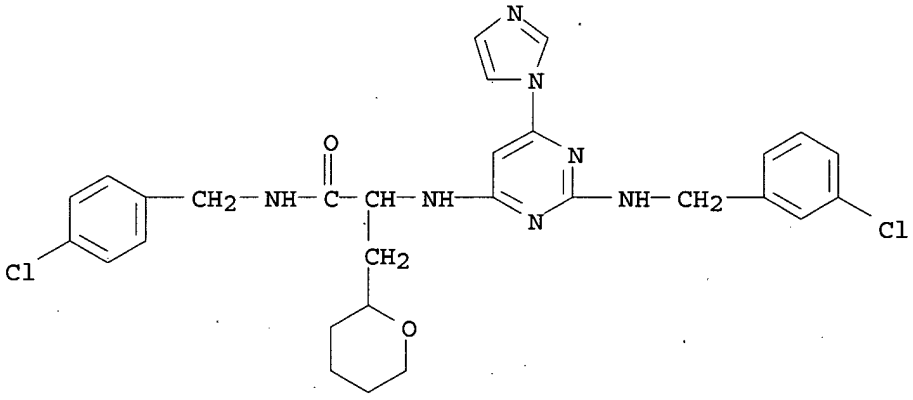
RN 321328-65-8 CAPLUS
CN 2H-Pyran-2-propanoic acid, .alpha.-[[2-chloro-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



IT **321328-59-0P 321328-68-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bradykinin B1 receptor antagonists)
RN 321328-59-0 CAPLUS
CN 4-Piperidinepropanamide, N-[(4-chlorophenyl)methyl]-.alpha.-[[2-[[(3-chlorophenyl)methyl]amino]-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 321328-68-1 CAPLUS
CN 2H-Pyran-2-propanamide, N-[(4-chlorophenyl)methyl]-.alpha.-[[2-[[(3-chlorophenyl)methyl]amino]-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:604917 CAPLUS
DOCUMENT NUMBER: 129:231019
TITLE: Preparation of N-heterocyclic derivatives as NOS inhibitors
INVENTOR(S): Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Hichael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun; et al.
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.; et al.
SOURCE: PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837079	A1	19980827	WO 1998-US3176	19980219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9861749	A1	19980909	AU 1998-61749	19980219
AU 732969	B2	20010503		
EP 968206	A1	20000105	EP 1998-906555	19980219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
GB 2338957	A1	20000112	GB 1999-19686	19980219
NZ 337861	A	20010223	NZ 1998-337861	19980219
NO 9903996	A	19991018	NO 1999-3996	19990819
HK 1025952	A1	20020412	HK 2000-104236	20000711
US 2003027794	A1	20030206	US 2002-121758	20020412
US 2003060452	A1	20030327	US 2002-121212	20020412
US 2003069210	A1	20030410	US 2002-122072	20020412

PRIORITY APPLN. INFO.:
US 1997-808975 A2 19970219
US 1998-25124 A 19980217
WO 1998-US3176 W 19980219
US 1999-383813 A3 19990826

OTHER SOURCE(S): MARPAT 129:231019

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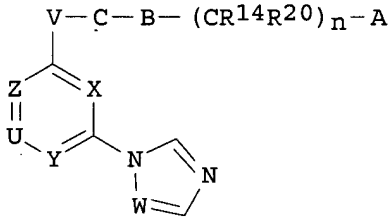


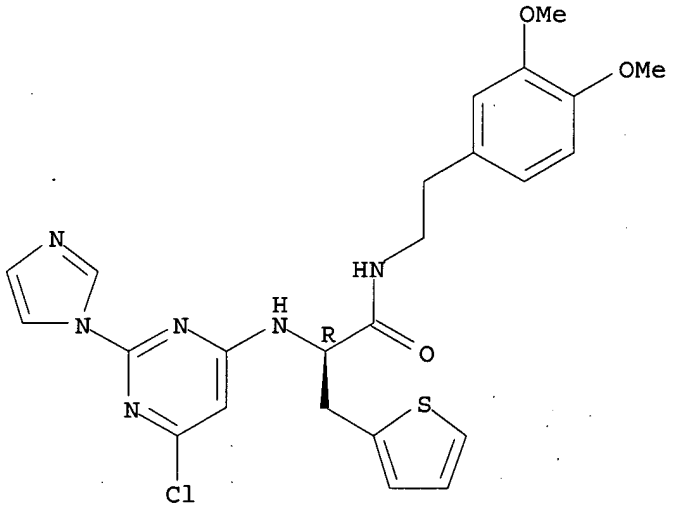
I

AB N-Heterocyclic derivs. I [U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or R1R2N = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); N-heterocyclyl; C = (CHR12)q(CHR13)r (q, r = 0 or 1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3] were prepd. as inhibitors of nitric oxide synthase. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, 7-chloro-3-oxoheptanoic acid Et ester, and piperonylamine.

IT **212635-48-8P 212635-51-3P 212635-52-4P**
212635-59-1P 212635-60-4P 212635-61-5P
212635-62-6P 212635-68-2P 212635-70-6P
212636-79-8P 212636-92-5P 212636-93-6P
212636-94-7P 212637-15-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-heterocyclic derivs. as NOS inhibitors)

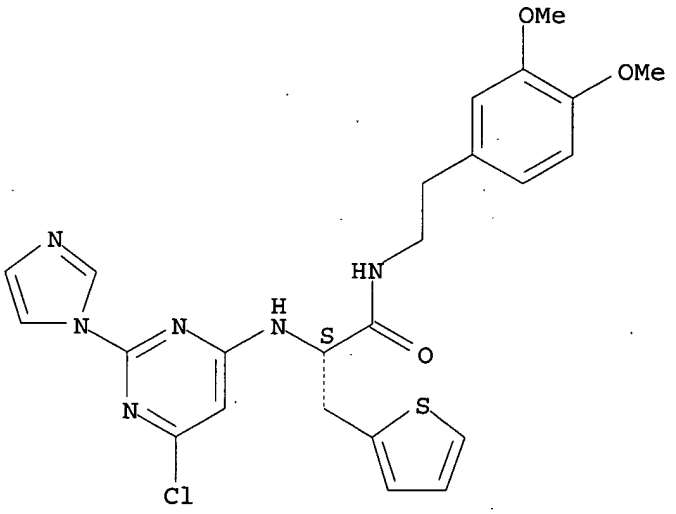
RN 212635-48-8 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



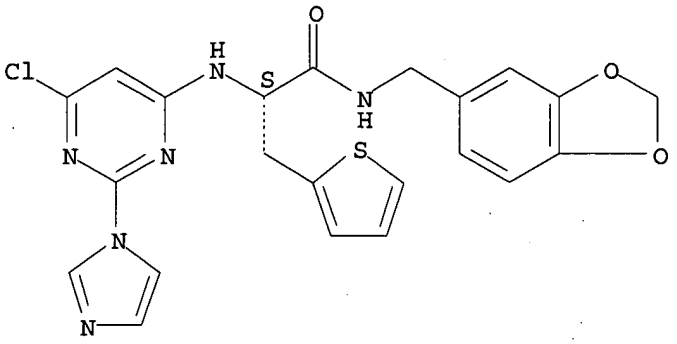
RN 212635-51-3 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



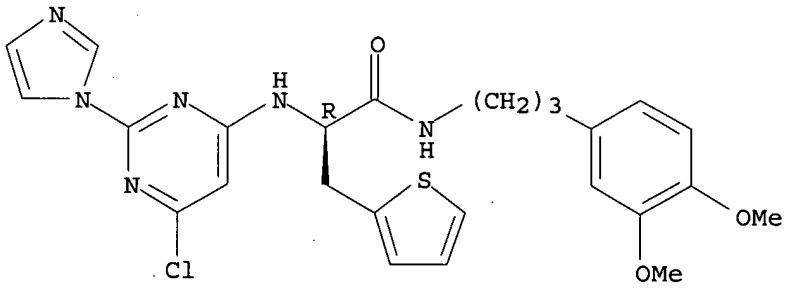
RN 212635-52-4 CAPLUS
CN 2-Thiophenepropanamide, N-(1,3-benzodioxol-5-ylmethyl)-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



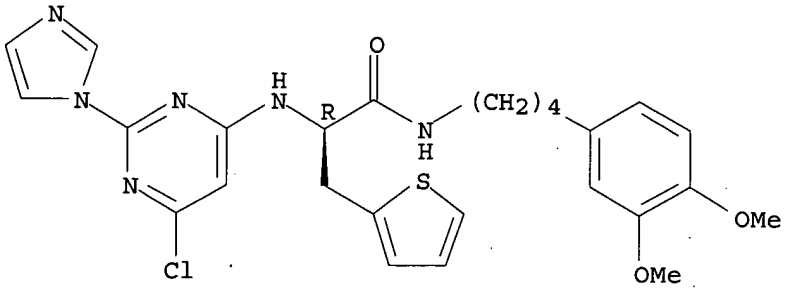
RN 212635-59-1 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[3-(3,4-dimethoxyphenyl)propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



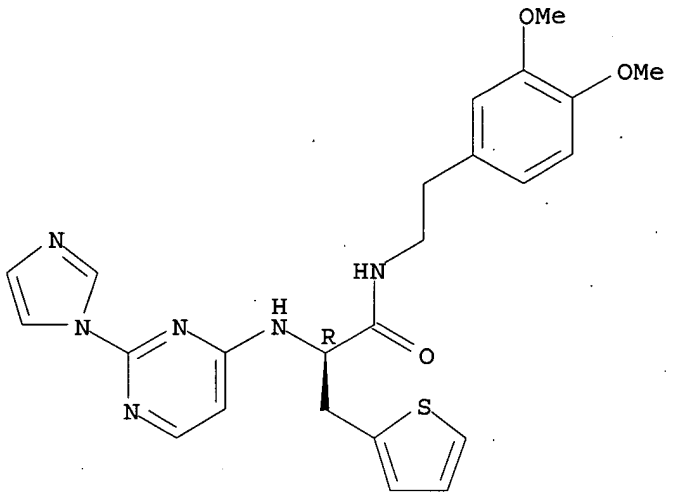
RN 212635-60-4 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[4-(3,4-dimethoxyphenyl)butyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



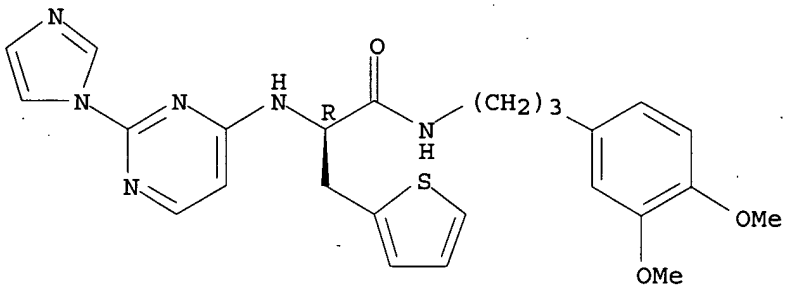
RN 212635-61-5 CAPLUS
CN 2-Thiophenepropanamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-.alpha.-[[2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



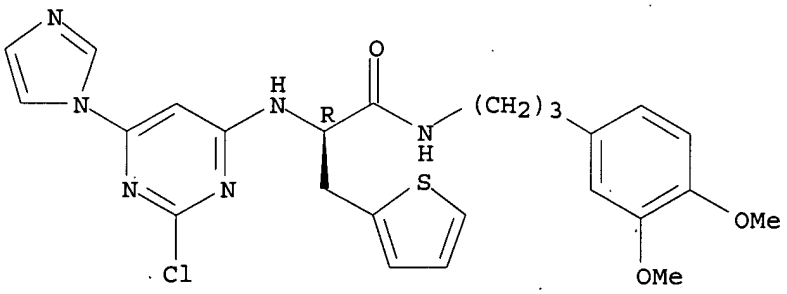
RN 212635-62-6 CAPLUS
CN 2-Thiophenepropanamide, N-[3-(3,4-dimethoxyphenyl)propyl]-.alpha.-[[2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



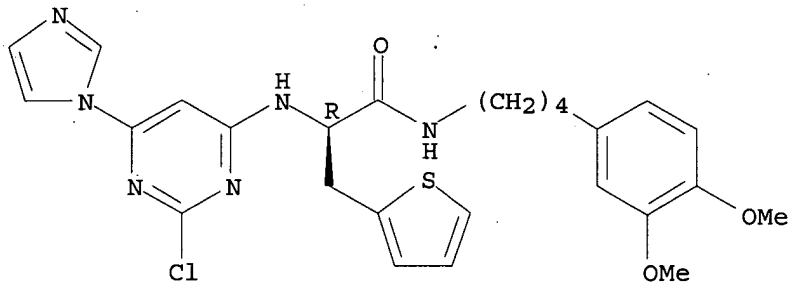
RN 212635-68-2 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[2-chloro-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[3-(3,4-dimethoxyphenyl)propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

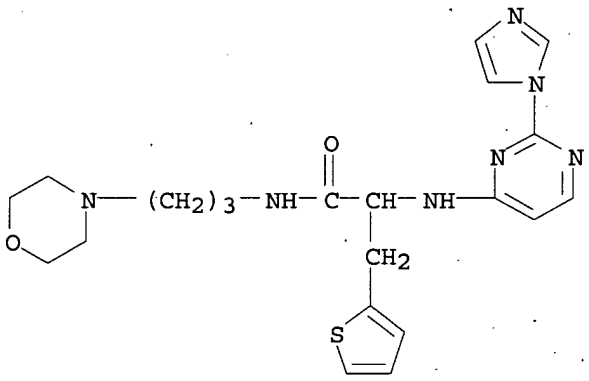


RN 212635-70-6 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[2-chloro-6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[4-(3,4-dimethoxyphenyl)butyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

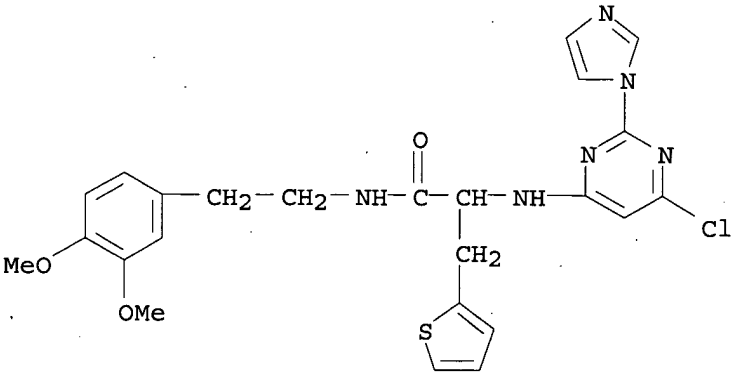
Absolute stereochemistry.



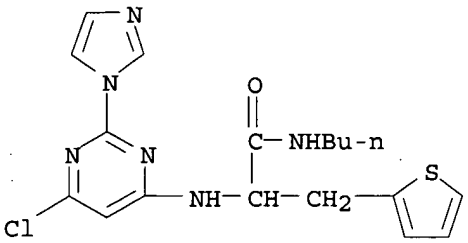
RN 212636-79-8 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



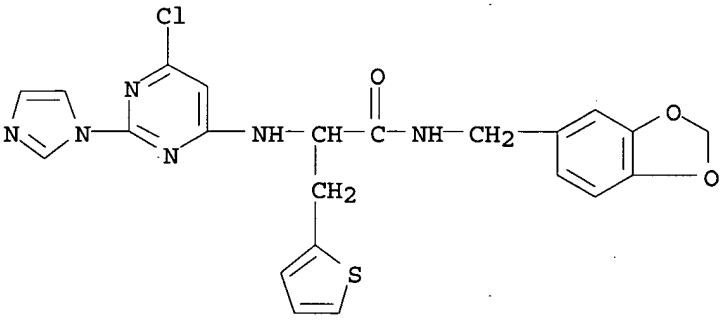
RN 212636-92-5 CAPLUS
CN 2-Thiophenepropanamide, .alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



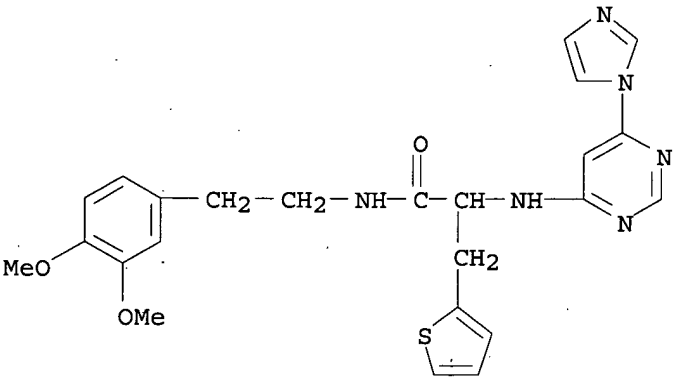
RN 212636-93-6 CAPLUS
CN 2-Thiophenepropanamide, N-butyl-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 212636-94-7 CAPLUS
CN 2-Thiophenepropanamide, N-(1,3-benzodioxol-5-ylmethyl)-.alpha.-[[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 212637-15-5 CAPLUS
CN 2-Thiophenepropanamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-.alpha.-[[6-(1H-imidazol-1-yl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:508382 CAPLUS
DOCUMENT NUMBER: 119:108382
TITLE: In vitro cytostatic activity of some amino acid 4-N-substituted cytosines
AUTHOR(S): Hladon, Boguslaw; Sloderbach, Anna; Radosh, Przemyslaw; Spychala, Jaroslaw; Golankiewicz, Krzysztof
CORPORATE SOURCE: Dep. Pharmacol., Med. Acad., Poznan, 61-701, Pol.

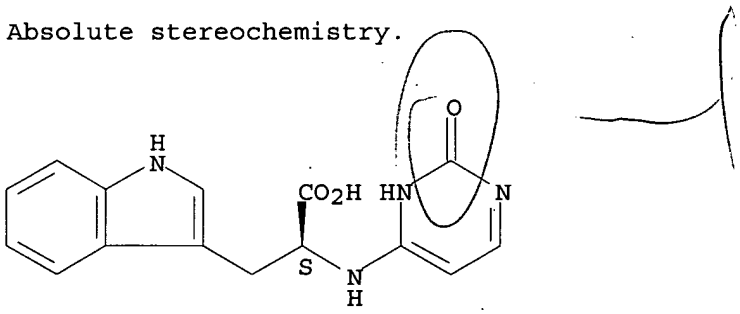
SOURCE: Archivum Immunologiae et Therapiae Experimentalis (1992), 40(2), 145-50
CODEN: AITEAT; ISSN: 0004-069X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The cytotoxicity of 16 cytosine derivs. substituted at position N4 with amino acid and related moieties was studied on human carcinoma cells in vitro. The activity of the compds. was inversely related to their soly. The most active compd., and the only one seemed suitable for further investigation, was N4-(1H-2-oxo-4-pyrimidyl)tryptamine. Some hypothetical structure-activity relationships are briefly discussed.

IT **93734-66-8 149474-75-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(cytostatic activity of, structure in relation to)

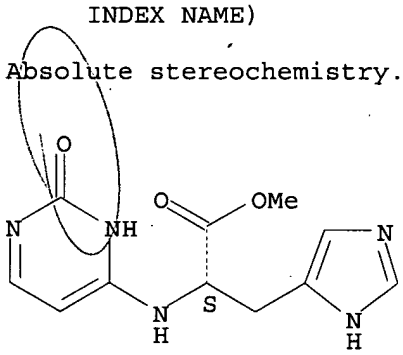
RN 93734-66-8 CAPLUS
CN L-Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



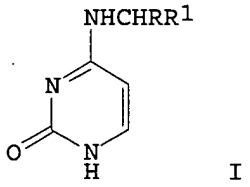
RN 149474-75-9 CAPLUS
CN L-Histidine, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:477735 CAPLUS
DOCUMENT NUMBER: 111:77735
TITLE: Photochemical synthesis of deuterium-labeled 4-N-substituted cytosines
AUTHOR(S): Celewicz, Lech; Spychala, Jaroslaw; Golankiewicz, Krzysztof
CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1988), 25(12), 1401-5
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:77735
GI



I

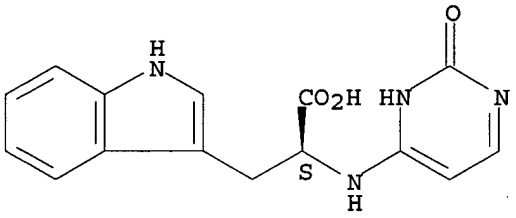
AB Deuteroalkylcytosines I (R = D; R1 = H, Me, CHMe2, CH2OH, CH2CO2H, CH2Ph, 3-benzimidazolylmethyl) were obtained in 45-85% yield by photochem. decarboxylation of I (R = CO2H) in the presence of D2O or MeOD.

IT **93734-66-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(photochem. decarboxylation-deuteration of)

RN 93734-66-8 CAPLUS

CN L-Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:618460 CAPLUS

DOCUMENT NUMBER: 109:218460

TITLE: Intramolecular OH...N .dblharw. O-...H+N hydrogen bonds in N-(1H-2-oxo-4-pyrimidinyl) amino acids

AUTHOR(S): Brzezinski, Bogumil; Celewicz, Lech; Spychala, Jaroslaw; Golankiewicz, Krzysztof

CORPORATE SOURCE: Dep. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.

SOURCE: Chemical Physics Letters (1988), 149(4), 348-54
CODEN: CHPLBC; ISSN: 0009-2614

DOCUMENT TYPE: Journal

LANGUAGE: English

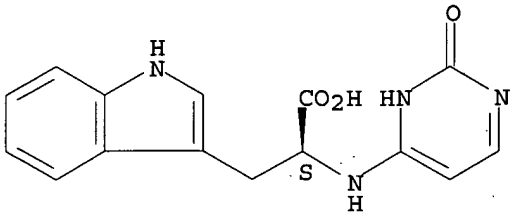
AB Seven N-(1H-2-oxo-4-pyrimidinyl) amino acids were studied by NMR and FTIR spectroscopy. In (CD3)2SO solns. easily polarizable intramol. OH...N .dblharw. O-...H+N bonds were formed and the IR continuum was obsd. In aq. solns. the intramol. H bonds were broken and the tautomeric equil. shifted towards the zwitterion.

IT **93734-66-8**
RL: PRP (Properties)
(IR and NMR spectra of, hydrogen bonds in relation to)

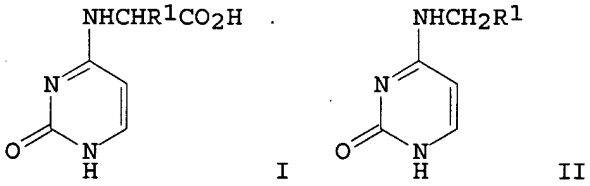
RN 93734-66-8 CAPLUS

CN L-Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:610774 CAPLUS
DOCUMENT NUMBER: 109:210774
TITLE: Photochemical synthesis of N4-substituted cytosines
AUTHOR(S): Celewicz, Lech; Spychala, Jaroslaw; Golankiewicz, Krzysztof
CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.
SOURCE: Synthetic Communications (1987), 17(16), 1939-50
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:210774
GI



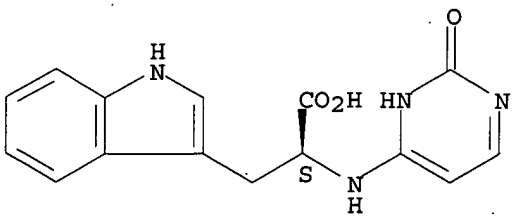
I II

AB Pyrimidinyl-substituted L-amino acids I [R1 = H, Me, CH2CHMe2, CHMeEt, CH2OH, CH(OH)Me, CH2CO2H, CH2Ph, 3-indolylmethyl] underwent photochem. decarboxylation to give cytosines II. II [R1 = CH2OH, CH(OH)Me] were irradiated to give II (R1 = Me).

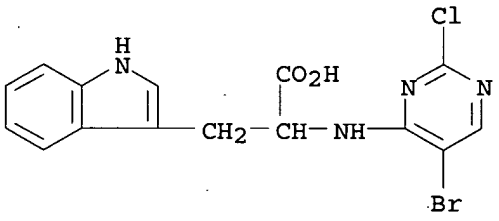
IT **93734-66-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(photochem. decarboxylation of)

RN 93734-66-8 CAPLUS
CN L-Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

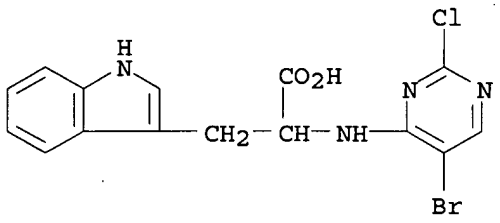
Absolute stereochemistry.



L3 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:422276 CAPLUS
DOCUMENT NUMBER: 83:22276
TITLE: Effect of some pyrimidine amino acid derivatives on vaccinia virus in tissue culture
AUTHOR(S): Izergina, E. A.; Votyakov, V. I.; Balandin, I. G.; Kabailova, I. V.; Seleznev, A. F.; Andreeva, O. T.; Lidak, M. Yu.
CORPORATE SOURCE: Beloruss. Nauchno-Issled. Inst. Epidemiol., Mikrobiol., Minsk, USSR
SOURCE: Voprosy Virusologii (1975), (1), 51-4
CODEN: VVIRAT; ISSN: 0507-4088
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Of the 9 pyrimidine derivs. tested, only N-(2-chloro-5-bromo-4-pyrimidinyl)-DL-leucine (I) [35026-05-2] showed any antiviral activity against vaccinia viruses in chick embryo fibroblast culture. I inhibited DNA synthesis in the infected cultures, and decreased the infectious titer of the virus.
IT **35023-48-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(virus response to, vaccinia)
RN 35023-48-4 CAPLUS
CN Tryptophan, N-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

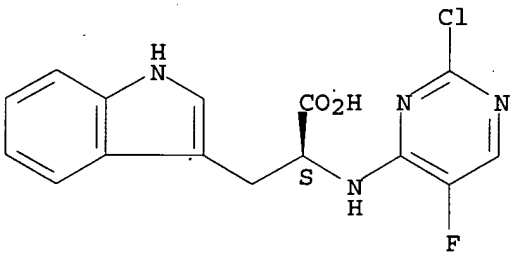


L3 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:25548 CAPLUS
DOCUMENT NUMBER: 76:25548
TITLE: Synthesis of N-(2-chloro-5-bromo-4-pyrimidyl)- and N-(2-chloro-5-iodo-4-pyrimidyl)amino acids
AUTHOR(S): Ulane, I.; Lidaks, M.
CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(4), 527-9
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The title compds. (I, X = Br, R = DL-NHCHMeCO2H, DL-leucyl, L-leucyl, L-valyl, DL-methionyl, DL-tryptophanyl, L-isoleucyl, DL-glycyl; and X = I, R = L-leucyl, DL-leucyl, DL-valyl, DL-alanyl) were prepd. in 31-50% yield, (from either 2,4-dichloro-5-bromo- or -5-iodopyrimidine and the amino acid Na salt refluxed in H2O in 1:0.5 molar ratio) for their biol. evaluation as inhibitors of protein biosynthesis.
IT **35023-48-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35023-48-4 CAPLUS
CN Tryptophan, N-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



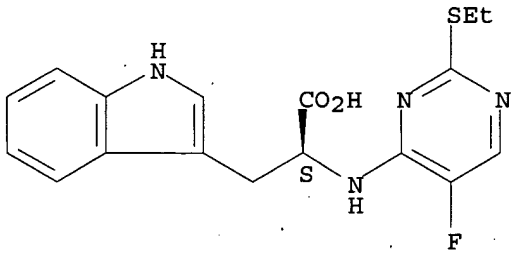
L3 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:449531 CAPLUS
DOCUMENT NUMBER: 75:49531
TITLE: Synthesis and properties of N-(2-chloro-5-fluoro-4-pyrimidyl)- and N-(2-ethylthio-5-fluoro-4-pyrimidyl) amino acids
AUTHOR(S): Paegle, R.; Plata, M.; Lidaks, M.; Popelis, J.
CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(2), 258-61
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The reaction of 2,4-dichloro-5-fluoropyrimidine or 2-(ethylthio)-4-chloro-5-fluoropyrimidine with amino acid sodium salts gave the title compds. (I, R = Cl, EtS; R1 = NHCH2CO2H, NHCH(CO2H)CH2Ph, NHCH(CO2H)CH2CH2SMe, NHCH(CO2H)CHMe, NHCH(CO2H)CH2CHMe2, NHCH(CO2H)CH2(NC8H6, = 3-indolyl) and NHCH2CH2CO2H).
IT **34697-13-7P 34697-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34697-13-7 CAPLUS
CN Tryptophan, N-(2-chloro-5-fluoro-4-pyrimidinyl)-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

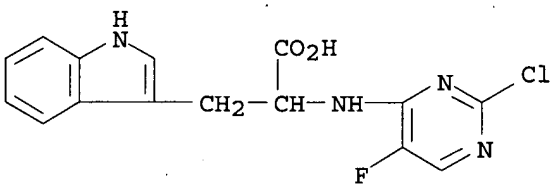


RN 34697-14-8 CAPLUS
CN Tryptophan, N-[2-(ethylthio)-5-fluoro-4-pyrimidinyl]-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:448006 CAPLUS
DOCUMENT NUMBER: 65:48006
ORIGINAL REFERENCE NO.: 65:9010f-h
TITLE: N-(2-Chloro-5-fluoro-4-pyrimidinyl)amino acids
AUTHOR(S): Paegle, R.; Plata, M.; Lidaks, M.
CORPORATE SOURCE: Inst. Org. Syn., Riga
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1966), (3), 475-6
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The N-(2-chloro-5-fluoro-4-pyrimidinyl)amino acids (I-VII) obtained from the reaction of 2,4-dichloro-5-fluorouracil with the appropriate amino acids. Me2CHOH-NH4OH-H2O;%,BuOH-HOAc-K2O;R,M.p.,Yield,9:1:1,4:1:5,14:1:5; I,H,169.degree.,85,0.87, -, 0.71;II,Me2CH,179.degree.,80,-,0.85,0.90;III,Me2CHCH2,173.degree.,84,-,0.94,0.86;IV,MeSCH2CH2,159.degree.,66,-,0.93,0.81;V,PhCH2,171.degree.,79,-,0.93,0.80;VI,182.degree.,61,-,0.90,0.77;VII,132.degree.,52,-,0.88,0.73;
IT **7662-32-0**, Tryptophan, N-(2-chloro-5-fluoro-4-pyrimidinyl)- (prepn. of)
RN 7662-32-0 CAPLUS
CN Tryptophan, N-(2-chloro-5-fluoro-4-pyrimidinyl)- (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:448005 CAPLUS
DOCUMENT NUMBER: 65:48005
ORIGINAL REFERENCE NO.: 65:9010d-f
TITLE: N-(2-Ethylthio-5-fluoro-4-pyrimidinyl)amino acids
AUTHOR(S): Paegle, R.; Plata, M.; Lidaks, M.
CORPORATE SOURCE: Inst. Org. Syn., Riga
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1966), (3), 474-5
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.

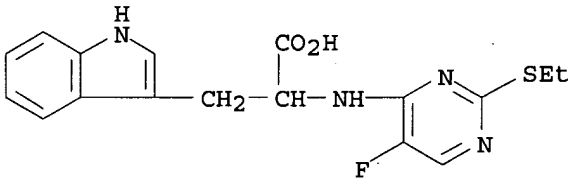
09/ 910,466

AB The N-(2-ethylthio-5-fluoro-4-pyrimidinyl)amino acids (I-VII) were obtained from the reaction of 2-ethylthio-4-chloro-5-flourouracil with the appropriate amino acids. Rf;Me2CHOHNH4OHH2O;%,BuOH-HOAc-H2O;R,M.p.,Yield,4:1:5,9:1:1,14:1:5;I,H,215.degree.,70,-,-,0.88;II,iso-Pr,174.degree.,45,-,0.85,0.82;III,iso-Bu,177.degree.,73,0.95,-,0.86;IV,MeSCH2CH2,173.degree.,62,-,0.84, 0.90;V,PhCH2,186.degree.,67,-,0.85,0.92;VI,A,198.degree.,69, 0.94,-,0.87;VII,-,141.degree.,52,0.89,-,0.90;

IT **7662-64-8**, Tryptophan, N-[2-(ethylthio)-5-fluoro-4-pyrimidinyl]- (prepn. of)

RN 7662-64-8 CAPLUS

CN Tryptophan, N-[2-(ethylthio)-5-fluoro-4-pyrimidinyl]- (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:482495 CAPLUS

DOCUMENT NUMBER: 59:82495

ORIGINAL REFERENCE NO.: 59:15376h,15377a-b

TITLE: Pyrimidine nucleosides. XVII. Pyrimidinyl amino acids

AUTHOR(S): Ueda, Tohru; Fox, Jack J.

CORPORATE SOURCE: Cornell Univ. Med. Coll., New York, NY

SOURCE: Journal of Medicinal Chemistry (1963), 6(6), 697-701
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

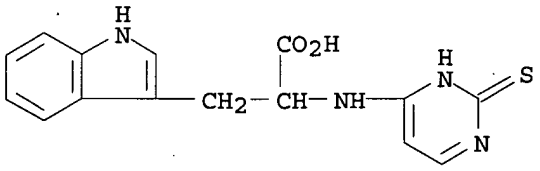
GI For diagram(s), see printed CA Issue.

AB cf. CA 58, 11457a. N-(2-Oxo-4-pyrimidinyl) amino acids were prepd. by reaction of 4-methylthio-2-pyrimidinones with amino acids. N-(2Oxo-4-pyrimidinyl)glycine, -L-alanine, -L-phenylalanine (I), -L-ryptophan (II), -.beta.-alanine, -o- and p-amiuobenzoic acid (III), and -glycylglycine were obtained. N-(2-Thio-4-pyrimidinyl)-L-tryptophan was also prepd. as well as the 5-methyl, 5-fluoro (IV), 5-chloro, and 5-bromo analogs of N-(2-oxo-4-pyrimidinyl)-DL-alanine. The ribonucleosides of I, II, and III were synthesized by treatment of 1-.beta.-D-ribofuranosyl-4-methylthio-2-pyrimidinone with the appropriate amino acid. The 1-(2-deoxy-.beta.-D-ribofuranosyl) deriv. of IV was synthesized by similar methods. Preliminary results with some of these compds. in exptl. tumors showed no significant antitumor activity. None of the pyrimidinyl amino acids tested supported the growth of certain pyrimidine- or amino acid-requiring mutants of Escherichia coli.

IT **93734-56-6**, Tryptophan, N-(1,2-dihydro-2-thioxo-4-pyrimidinyl)-
93734-66-8, Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (prepn. of)

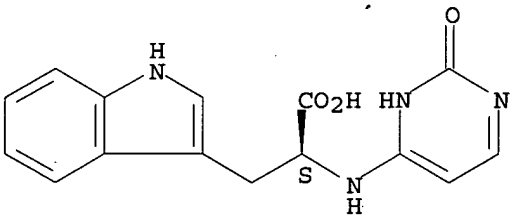
RN 93734-56-6 CAPLUS

CN Tryptophan, N-(1,2-dihydro-2-thioxo-4-pyrimidinyl)- (7CI) (CA INDEX NAME)



RN 93734-66-8 CAPLUS
CN L-Tryptophan, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 16:45:27 ON 17 JUN 2003
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L2 43 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:46:02 ON 17 JUN 2003
L3 21 S L2

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